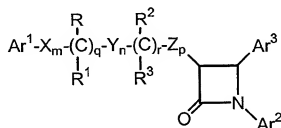


THEREFORE, WE CLAIM:

1. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (I):



(I)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (I) or of the isomers thereof, or prodrugs of the compounds of Formula (I) or of the isomers, salts or solvates thereof,

wherein in Formula (I) above:

Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R² are independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R¹ and R³ are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of

lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-(\text{lower alkylene})COOR^6$, $-CH=CH-COOR^6$, $-CF_3$, $-CN$, $-NO_2$ and halogen;

R^5 is 1-5 substituents independently selected from the group consisting of - OR^6 , $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-(\text{lower alkylene})COOR^6$ and $-CH=CH-COOR^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

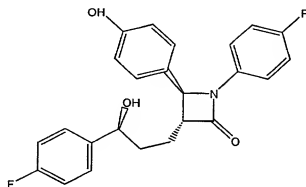
R^9 is lower alkyl, aryl or aryl-substituted lower alkyl.

2. The composition according to claim 1, wherein the at least one of nicotinic acid or derivatives thereof is selected from the group consisting of nicotinic acid, niceritol, nicofuranose, acipimox and mixtures thereof.

3. The composition according to claim 2, wherein the at least one of nicotinic acid or derivatives thereof is nicotinic acid.

4. The composition according to claim 1, wherein the at least one of nicotinic acid or derivatives thereof is administered to a mammal in an amount ranging from about 500 to about 10,000 milligrams of nicotinic acid or derivatives thereof per day.

5. The composition according to claim 1, wherein the sterol absorption inhibitor is represented by Formula (II) below:



(II)

5 or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the compound of Formula (II) or of the salt or solvate thereof.

6. The composition according to claim 1, wherein the at least one sterol absorption inhibitor is administered to a mammal in an amount ranging from about 0.1 to about 1000 milligrams of sterol absorption inhibitor per day.

7. The composition according to claim 1, further comprising at least one cholesterol biosynthesis inhibitor.

8. The composition according to claim 7, wherein the at least one cholesterol biosynthesis inhibitor comprises at least one HMG CoA reductase inhibitor.

9. The composition according to claim 8, wherein the at least one HMG CoA reductase inhibitor is selected from the group consisting of lovastatin, pravastatin, fluvastatin, simvastatin, atorvastatin, cerivastatin and mixtures thereof.

10. The composition according to claim 9, wherein the at least one HMG CoA reductase inhibitor is simvastatin.

11. The composition according to claim 1, further comprising at least one PPAR receptor activator.

12. The composition according to claim 11, wherein the PPAR receptor activator is at least one fibric acid derivative is selected from the group consisting of fenofibrate, clofibrate, gemfibrozil, ciprofibrate, bezafibrate, clonofibrate, binifibrate, lifibrol and mixtures thereof.

13. The composition according to claim 12, wherein the at least one fibric acid derivative is fenofibrate.

14. The composition according to claim 1, further comprising at least one bile acid sequestrant.

15. The composition according to claim 14, wherein the at least one bile acid sequestrant is selected from the group consisting of cholestyramine and colestipol.

16. The composition according to claim 1, further comprising at least one AcylCoA:Cholesterol O-acyltransferase Inhibitor.

17. The composition according to claim 1, further comprising probucol or derivatives thereof.

18. The composition according to claim 1, further comprising at least one low-density lipoprotein receptor activator.

19. The composition according to claim 1, further comprising at least one Omega 3 fatty acid.

20. The composition according to claim 1, further comprising at least one natural water soluble fiber.

21. The composition according to claim 1, further comprising at least one of plant sterols, plant stanols or fatty acid esters of plant stanols.

22. The composition according to claim 1, further comprising at least one antioxidant or vitamin.

23. The composition according to claim 1, further comprising at least one hormone replacement therapy composition.

24. The composition according to claim 1, further comprising at least one obesity control medication.

25. The composition according to claim 1, further comprising at least one blood modifier different from the compound of Formula (I).

26. The composition according to claim 1, further comprising at least one cardiovascular agent different from the compound of Formula I.

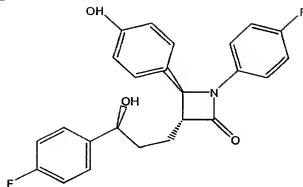
27. The composition according to claim 1, further comprising at least one antidiabetic medication.

28. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 1 and a pharmaceutically acceptable carrier.

29. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 1.

30. The method according to claim 29, wherein the vascular condition is hyperlipidemia.

31. A composition comprising: (a) at least one of nicotinic acid or derivatives thereof; and (b) a compound represented by Formula (II) below:

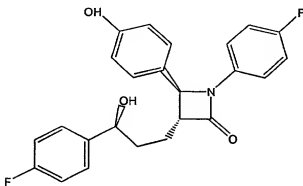


(II)

or pharmaceutically acceptable salt or solvate thereof, or prodrug of the compound of Formula (II) or of the salt or solvate thereof.

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32. A therapeutic combination comprising: (a) a first amount of at least one of nicotinic acid or derivatives thereof; and (b) a second amount of a compound represented by Formula (II) below:



(II)

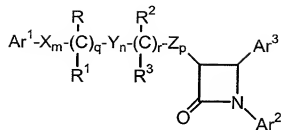
or pharmaceutically acceptable salt or solvate thereof, or prodrug of the compound of Formula (II) or of the salt or solvate thereof, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

33. A therapeutic combination comprising:

(a) a first amount of at least one of nicotinic acid or derivatives thereof; and

(b) a second amount of at least one sterol absorption inhibitor represented by Formula (I):

20



(1)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (I) or of the isomers thereof, or prodrugs of the compounds of Formula (I) or of the isomers, salts or solvates thereof, wherein in Formula (I) above:

Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R² are independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R^1 and R^3 are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5:

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$,

$$-\text{NR}^6\text{R}^7, -\text{NR}^6(\text{CO})\text{R}^7, -\text{NR}^6(\text{CO})\text{OR}^9, -\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8, -\text{NR}^6\text{SO}_2\text{R}^9, -\text{COOR}^6,$$
$$-\text{CONR}^6\text{R}^7, -\text{COR}^6, -\text{SO}_2\text{NR}^6\text{R}^7, \text{S}(\text{O})_{0.2}\text{R}^9, -\text{O}(\text{CH}_2)_{1-10}-\text{COOR}^6,$$
$$-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7, -(\text{lower alkylene})\text{COOR}^6, -\text{CH}=\text{CH}-\text{COOR}^6, -\text{CF}_3, -\text{CN},$$

-NO₂ and halogen;

R^5 is 1-5 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-(\text{lower alkylene})COOR^6$ and $-CH=CH-COOR^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl

wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

34. A therapeutic combination according to claim 33, wherein the at least one of nicotinic acid or derivatives thereof is administered concomitantly with the at least one sterol absorption inhibitor.

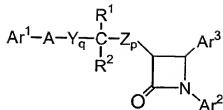
35. A therapeutic combination according to claim 33, wherein the at least one of nicotinic acid or derivatives thereof and the at least one sterol absorption inhibitor are present in separate treatment compositions.

36. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 33.

37. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (III):



(III)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (III) or of the isomers thereof, or prodrugs of the compounds of Formula (III) or of the isomers, salts or solvates thereof, wherein, in Formula (III) above:

Ar¹ is R³-substituted aryl;

Ar² is R⁴-substituted aryl;

Ar³ is R⁵-substituted aryl;

Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

A is selected from -O-, -S-, -S(O)- or -S(O)₂-;

R¹ is selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷; R² is selected from the group consisting of hydrogen, lower alkyl and aryl; or R¹ and R² together are =O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

R⁵ is 1-3 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₆OR⁹, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂-lower alkyl, -NR⁶SO₂-aryl, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂-alkyl, S(O)₀₋₂-aryl, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-COOR⁶, and -CH=CH-COOR⁶;

R³ and R⁴ are independently 1-3 substituents independently selected from the group consisting of R⁵, hydrogen, p-lower alkyl, aryl, -NO₂, -CF₃ and p-halogeno;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-

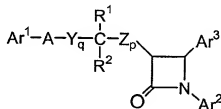
substituted lower alkyl.

38. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 37 and a pharmaceutically acceptable carrier.

39. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 38.

40. A therapeutic combination comprising:

- (a) a first amount of at least one of nicotinic acid or derivatives thereof; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (III):



(III)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (III) or of the isomers thereof, or prodrugs of the compounds of Formula (III) or of the isomers, salts or solvates thereof, wherein, in Formula (III) above:

Ar¹ is R³-substituted aryl;

Ar² is R⁴-substituted aryl;

Ar³ is R⁵-substituted aryl;

Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

A is selected from -O-, -S-, -S(O)- or -S(O)₂-;

R¹ is selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷; R² is selected from the group consisting of hydrogen, lower alkyl and aryl; or R¹ and R² together are =O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

R⁵ is 1-3 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁹, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂-lower alkyl, -NR⁶SO₂-aryl, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂-alkyl, S(O)₀₋₂-aryl, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-COOR⁶, and -CH=CH-COOR⁶;

R³ and R⁴ are independently 1-3 substituents independently selected from the group consisting of R⁵, hydrogen, p-lower alkyl, aryl, -NO₂, -CF₃ and p-halogeno;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

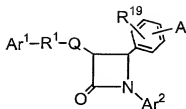
R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

41. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 40.

42. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (IV):



(IV)

- 5 or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IV) or of the isomers thereof, or prodrugs of the compounds of Formula (IV) or of the isomers, salts or solvates thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of R²-substituted heterocycloalkyl, R²-substituted heteroaryl, R²-substituted benzofused heterocycloalkyl, and R²-substituted benzofused heteroaryl;

Ar¹ is aryl or R³-substituted aryl;

Ar² is aryl or R⁴-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the

spiro group $\begin{matrix} \text{R}^5 \\ | \\ \text{---} \end{matrix} \begin{matrix} \text{---} \\ | \\ \text{R}^7 \end{matrix} \begin{matrix} \text{---} \\ | \\ \text{R}^6 \end{matrix} \begin{matrix} \text{---} \\ | \\ \text{---} \end{matrix}$; and

R¹ is selected from the group consisting of:

-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-G-(CH₂)_r-, wherein G is -O-, -C(O)-, phenylene, -NR⁸- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆ alkenylene)-; and
 -(CH₂)_fV-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R⁵ is selected from:

$\begin{matrix} | \\ \text{---CH---} \end{matrix}$, $\begin{matrix} | \\ \text{---C(C}_1\text{-C}_6\text{ alkyl)--} \end{matrix}$, $\begin{matrix} | \\ \text{---CF---} \end{matrix}$, $\begin{matrix} | \\ \text{---C(OH)--} \end{matrix}$, $\begin{matrix} | \\ \text{---C(C}_6\text{H}_4\text{-R}^9\text{)--} \end{matrix}$, $\begin{matrix} | \\ \text{---N---} \end{matrix}$, or $\begin{matrix} | \\ \text{---}^+\text{NO}^- \end{matrix}$;

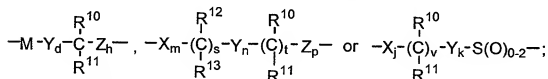
R⁶ and R⁷ are independently selected from the group consisting of
 -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆ alkyl))-, -CH=CH- and

$-\text{C}(\text{C}_1\text{-C}_6\text{ alkyl})=\text{CH}-$; or R^5 together with an adjacent R^6 , or R^5 together with an adjacent R^7 , form a $-\text{CH}=\text{CH}-$ or a $-\text{CH}=\text{C}(\text{C}_1\text{-C}_6\text{ alkyl})-$ group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R^6 is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6\text{ alkyl})=\text{CH}-$, a is 1; provided that when R^7 is

- 5 $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6\text{ alkyl})=\text{CH}-$, b is 1; provided that when a is 2 or 3, the R^6 's can be the same or different; and provided that when b is 2 or 3, the R^7 's can be the same or different;

and when Q is a bond, R^1 also can be selected from:



10 where M is $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O})-$ or $-\text{S}(\text{O})_2-$;

X, Y and Z are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{C}_1\text{-C}_6\text{ alkyl})-$ and $-\text{C}(\text{di}(\text{C}_1\text{-C}_6\text{ alkyl}))$;

R^{10} and R^{12} are independently selected from the group consisting of $-\text{OR}^{14}$, $-\text{O}(\text{CO})\text{R}^{14}$, $-\text{O}(\text{CO})\text{OR}^{16}$ and $-\text{O}(\text{CO})\text{NR}^{14}\text{R}^{15}$;

15 R^{11} and R^{13} are independently selected from the group consisting of hydrogen, $(\text{C}_1\text{-C}_6\text{ alkyl})$ and aryl; or R^{10} and R^{11} together are $=\text{O}$, or R^{12} and R^{13} together are $=\text{O}$;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least
20 one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;


v is 0 or 1;

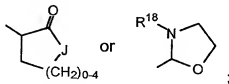
j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

25 R^2 is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, $(\text{C}_1\text{-C}_{10})\text{alkyl}$, $(\text{C}_2\text{-C}_{10})\text{alkenyl}$, $(\text{C}_2\text{-C}_{10})\text{alkynyl}$,

(C₃-C₆)cycloalkyl, (C₃-C₆)cycloalkenyl, R¹⁷-substituted aryl, R¹⁷-substituted benzyl, R¹⁷-substituted benzyloxy, R¹⁷-substituted aryloxy, halogeno, -NR¹⁴R¹⁵, NR¹⁴R¹⁵(C₁-C₆ alkylene)-, NR¹⁴R¹⁵C(O)(C₁-C₆ alkylene)-, -NHC(O)R¹⁶, OH, C₁-C₆ alkoxy, -OC(O)R¹⁶, -COR¹⁴, hydroxy(C₁-C₆)alkyl,

(C₁-C₆)alkoxy(C₁-C₆)alkyl, NO₂, -S(O)₀₋₂R¹⁶, -SO₂NR¹⁴R¹⁵ and -(C₁-C₆ alkylene)COOR¹⁴; when R² is a substituent on a heterocycloalkyl ring, R² is

as defined, or is =O or ; and, where R² is a substituent on a substitutable ring nitrogen, it is hydrogen, (C₁-C₆)alkyl, aryl, (C₁-C₆)alkoxy, aryloxy, (C₁-C₆)alkylcarbonyl, arylcarbonyl, hydroxy, -(CH₂)₁₋₆CONR¹⁸R¹⁸,



wherein J is -O-, -NH-, -NR¹⁸- or -CH₂-;

R³ and R⁴ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶, -O(CH₂)₁₋₆OR¹⁴, -O(CO)NR¹⁴R¹⁵, -NR¹⁴R¹⁵, -NR¹⁴(CO)R¹⁵, -NR¹⁴(CO)OR¹⁶, -NR¹⁴(CO)NR¹⁵R¹⁹, -NR¹⁴SO₂R¹⁶, -COOR¹⁴, -CONR¹⁴R¹⁵, -COR¹⁴, -SO₂NR¹⁴R¹⁵, S(O)₀₋₂R¹⁶, -O(CH₂)₁₋₁₀-COOR¹⁴, -O(CH₂)₁₋₁₀CONR¹⁴R¹⁵, -(C₁-C₆ alkylene)-COOR¹⁴, -CH=CH-COOR¹⁴, -CF₃, -CN, -NO₂ and halogen;

R⁸ is hydrogen, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁴ or -COOR¹⁴;

R⁹ and R¹⁷ are independently 1-3 groups independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁴R¹⁵, OH and halogeno;

R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R¹⁶ is (C₁-C₆)alkyl, aryl or R¹⁷-substituted aryl;

R^{18} is hydrogen or (C_1-C_6) alkyl; and

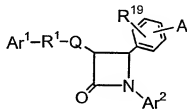
R^{19} is hydrogen, hydroxy or (C_1-C_6) alkoxy.

43. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 42 and a pharmaceutically acceptable carrier.

44. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 43.

45. A therapeutic combination comprising:

- (a) a first amount of at least one of nicotinic acid or derivatives thereof; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (IV):



(IV)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IV) or of the isomers thereof, or prodrugs of the compounds of Formula (IV) or of the isomers, salts or solvates thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of R^2 -substituted heterocycloalkyl, R^2 -substituted heteroaryl, R^2 -substituted benzofused heterocycloalkyl, and R^2 -substituted benzofused heteroaryl;

Ar^1 is aryl or R^3 -substituted aryl;

$-\text{CH}_2-$, $-\text{CH}(\text{C}_1-\text{C}_6 \text{ alkyl})-$ and $-\text{C}(\text{di}-(\text{C}_1-\text{C}_6 \text{ alkyl}))$;

R^{10} and R^{12} are independently selected from the group consisting of $-\text{OR}^{14}$, $-\text{O}(\text{CO})\text{R}^{14}$, $-\text{O}(\text{CO})\text{OR}^{16}$ and $-\text{O}(\text{CO})\text{NR}^{14}\text{R}^{15}$;

R^{11} and R^{13} are independently selected from the group consisting of hydrogen, $(\text{C}_1-\text{C}_6)\text{alkyl}$ and aryl; or R^{10} and R^{11} together are $=\text{O}$, or R^{12} and R^{13} together are $=\text{O}$;

d is 1, 2 or 3;


h is 0, 1, 2, 3 or 4;

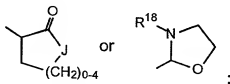
s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R^2 is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, $(\text{C}_1-\text{C}_{10})\text{alkyl}$, $(\text{C}_2-\text{C}_{10})\text{alkenyl}$, $(\text{C}_2-\text{C}_{10})\text{alkynyl}$, $(\text{C}_3-\text{C}_6)\text{cycloalkyl}$, $(\text{C}_3-\text{C}_6)\text{cycloalkenyl}$, R^{17} -substituted aryl, R^{17} -substituted benzyl, R^{17} -substituted benzyloxy, R^{17} -substituted aryloxy, halogeno, $-\text{NR}^{14}\text{R}^{15}$, $\text{NR}^{14}\text{R}^{15}(\text{C}_1-\text{C}_6 \text{ alkylene})-$, $\text{NR}^{14}\text{R}^{15}\text{C}(\text{O})(\text{C}_1-\text{C}_6 \text{ alkylene})-$, $-\text{NHC}(\text{O})\text{R}^{16}$, OH , $\text{C}_1-\text{C}_6 \text{ alkoxy}$, $-\text{OC}(\text{O})\text{R}^{16}$, $-\text{COR}^{14}$, hydroxy $(\text{C}_1-\text{C}_6)\text{alkyl}$, $(\text{C}_1-\text{C}_6)\text{alkoxy}(\text{C}_1-\text{C}_6)\text{alkyl}$, NO_2 , $-\text{S}(\text{O})_{0-2}\text{R}^{16}$, $-\text{SO}_2\text{NR}^{14}\text{R}^{15}$ and $-(\text{C}_1-\text{C}_6 \text{ alkylene})\text{COOR}^{14}$; when R^2 is a substituent on a heterocycloalkyl ring, R^2 is

as defined, or is $=\text{O}$ or ; and, where R^2 is a substituent on a substitutable ring nitrogen, it is hydrogen, $(\text{C}_1-\text{C}_6)\text{alkyl}$, aryl, $(\text{C}_1-\text{C}_6)\text{alkoxy}$, aryloxy, $(\text{C}_1-\text{C}_6)\text{alkylcarbonyl}$, arylcarbonyl, hydroxy, $-(\text{CH}_2)_{1-6}\text{CONR}^{18}\text{R}^{18}$,



wherein J is $-\text{O}-$, $-\text{NH}-$, $-\text{NR}^{18}$ or $-\text{CH}_2-$;

R^3 and R^4 are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C_1-C_6) alkyl, $-OR^{14}$, $-O(CO)R^{14}$, $-O(CO)OR^{16}$, $-O(CH_2)_{1-5}OR^{14}$, $-O(CO)NR^{14}R^{15}$, $-NR^{14}R^{15}$, $-NR^{14}(CO)R^{15}$, $-NR^{14}(CO)OR^{16}$, $-NR^{14}(CO)NR^{15}R^{19}$, $-NR^{14}SO_2R^{16}$, $-COOR^{14}$, $-CONR^{14}R^{15}$, $-COR^{14}$, $-SO_2NR^{14}R^{15}$, $S(O)_{0-2}R^{16}$, $-O(CH_2)_{1-10}COOR^{14}$, $-O(CH_2)_{1-10}CONR^{14}R^{15}$, $-(C_1-C_6 \text{ alkylene})-COOR^{14}$, $-CH=CH-COOR^{14}$, $-CF_3$, $-CN$, $-NO_2$ and halogen;

R^8 is hydrogen, (C_1-C_6) alkyl, aryl (C_1-C_6) alkyl, $-C(O)R^{14}$ or $-COOR^{14}$;

R^9 and R^{17} are independently 1-3 groups independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, $-COOH$, NO_2 , $-NR^{14}R^{15}$, OH and halogeno;

R^{14} and R^{15} are independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl, aryl and aryl-substituted (C_1-C_6) alkyl;

R^{16} is (C_1-C_6) alkyl, aryl or R^{17} -substituted aryl;

R^{18} is hydrogen or (C_1-C_6) alkyl; and

R^{19} is hydrogen, hydroxy or (C_1-C_6) alkoxy,

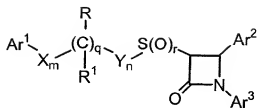
wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

46. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 45.

47. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (V):



(V)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (V) or of the isomers thereof, or prodrugs of the compounds of Formula (V) or of the isomers, salts or solvates thereof, wherein, in Formula (V) above:

Ar^1 is aryl, R^{10} -substituted aryl or heteroaryl;

Ar^2 is aryl or R^4 -substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X and Y are independently selected from the group consisting of $-CH_2-$, $-CH$ (lower alkyl)- and $-C$ (dilower alkyl)-;

R is $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$ or $-O(CO)NR^6R^7$; R^1 is hydrogen, lower alkyl or aryl; or R and R^1 together are $=O$;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$,

$-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$,

$-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$,

$-O(CH_2)_{1-10}CONR^6R^7$, $-(lower\ alkylene)COOR^6$ and $-CH=CH-COOR^6$;

R^5 is 1-5 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$,

$-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$,

$-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-CF_3$, $-CN$, $-NO_2$, halogen, $-(lower\ alkylene)COOR^6$ and $-CH=CH-COOR^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl; and

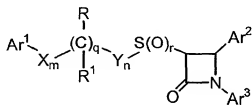
R^{10} is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $-S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-CF_3$, $-CN$, $-NO_2$ and halogen.

48. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 47 and a pharmaceutically acceptable carrier.

49. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 48.

50. A therapeutic combination comprising:

- (a) a first amount of at least one of nicotinic acid or derivatives thereof; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (V):



(V)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (V) or of the isomers thereof, or prodrugs of the compounds of Formula (V) or of the isomers, salts or solvates thereof, wherein, in Formula (V) above:

Ar¹ is aryl, R¹⁰-substituted aryl or heteroaryl;

Ar² is aryl or R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

X and Y are independently selected from the group consisting of -CH₂-,
-CH(lower alkyl)- and -C(dilower alkyl)-;

R is -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ or -O(CO)NR⁶R⁷; R¹ is hydrogen, lower alkyl or aryl; or R and R¹ together are =O;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and

q is 1, 2, 3, 4 or 5;

R⁴ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶,
-O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁵ is 1-5 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -CF₃, -CN, -NO₂, halogen, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl; and

R¹⁰ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷,

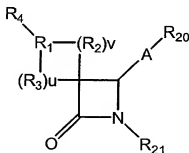
$-\text{NR}^6\text{R}^7, -\text{NR}^6(\text{CO})\text{R}^7, -\text{NR}^6(\text{CO})\text{OR}^9, -$ ¹⁰⁸
 $\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8, -\text{NR}^6\text{SO}_2\text{R}^9, -\text{COOR}^6,$
 $-\text{CONR}^6\text{R}^7, -\text{COR}^6, -\text{SO}_2\text{NR}^6\text{R}^7, -\text{S}(\text{O})_{0-2}\text{R}^9, -\text{O}(\text{CH}_2)_{1-10}-\text{COOR}^6,$
 $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7, -\text{CF}_3, -\text{CN}, -\text{NO}_2$ and halogen,

wherein the first amount and the second amount together comprise a therapeutically
 effective amount for the treatment or prevention of a vascular condition, diabetes,
 obesity or lowering a concentration of a sterol in plasma of a mammal.

51. A method of treating or preventing a vascular condition, diabetes,
 obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the
 step of administering to a mammal in need of such treatment an effective amount of
 the therapeutic combination of claim 50.

52. A composition comprising:

- (a) at least one of nicotinic acid or derivatives thereof; and
- (b) at least one sterol absorption inhibitor represented by Formula (VI):



(VI)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds
 of Formula (VI) or of the isomers thereof, or prodrugs of the compounds of Formula
 (VI) or of the isomers, salts or solvates thereof, wherein:

R₁ is

$-\text{CH}_3, -\text{C}(\text{lower alkyl})-, -\text{CF}_3, -\text{C}(\text{OH})-, -\text{C}(\text{C}_6\text{H}_5)-, -\text{C}(\text{C}_6\text{H}_4-\text{R}_{15})-,$

$-\text{N}^-$ or $-\text{N}^+\text{O}^-$;

R₂ and R₃ are independently selected from the group consisting of:

-CH₂-, -CH(lower alkyl)-, -C(di-lower alkyl)-, -CH=CH- and -C(lower alkyl)=CH-;
; or

R₁ together with an adjacent R₂, or R₁ together with an adjacent R₃, form a

-CH=CH- or a -CH=C(lower alkyl)- group;

5 u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R₂ is -CH=CH- or -C(lower alkyl)=CH-, v is 1; provided that when R₃ is -CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R₂'s can be the same or different; and provided that when u is 2 or 3, the R₃'s can be the same or different;

10 R₄ is selected from B-(CH₂)_mC(O)-, wherein m is 0, 1, 2, 3, 4 or 5;

B-(CH₂)_q-, wherein q is 0, 1, 2, 3, 4, 5 or 6;

B-(CH₂)_e-Z-(CH₂)_r-, wherein Z is -O-, -C(O)-, phenylene, -N(R₈)- or -S(O)₀₋₂-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;

15 B-(C₂-C₆ alkenylene)-;

B-(C₄-C₆ alkadienylene)-;

B-(CH₂)_t-Z-(C₂-C₆ alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

20 B-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;

B-(CH₂)_t-V-(C₂-C₆ alkenylene)- or

B-(C₂-C₆ alkenylene)-V-(CH₂)_t-, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

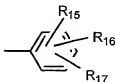
25 B-(CH₂)_a-Z-(CH₂)_b-V-(CH₂)_d-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or

T-(CH₂)_s-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R₁ and R₄ together form the group $\text{B}-\text{CH}=\overset{\text{I}}{\text{C}}-$;

30 B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of

pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxyacetylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl,

R⁷-benzyl, benzyloxy, R⁷-benzyloxy, phenoxy, R⁷-phenoxy, dioxolanyl, NO₂, -N(R₈)(R₉), N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, OH, halogeno, -CN, -N₃, -NHC(O)OR₁₀, -NHC(O)R₁₀, R₁₁O₂SNH-, (R₁₁O₂S)₂N-, -S(O)₂NH₂, -S(O)₀₋₂R₈, tert-butylidimethyl-silyloxymethyl, -C(O)R₁₂,

-COOR₁₉, -CON(R₈)(R₉), -CH=CHC(O)R₁₂, -lower alkylene-C(O)R₁₂,

R₁₀C(O)(lower alkyleneoxy)-, N(R₈)(R₉)C(O)(lower alkyleneoxy)- and

-CH₂- for substitution on ring carbon atoms,

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, C(O)OR₁₀, -C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene-,

N(R₈)(R₉)-lower alkyleneoxy-, -S(O)₂NH₂ and 2-(trimethylsilyl)-ethoxymethyl;

R⁷ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO₂, -N(R₈)(R₉), OH, and halogeno;

R₈ and R₉ are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R⁷-phenyl, benzyl or R⁷-benzyl;

R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R⁷-phenyl or R⁷-benzyl;

R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,



, -N(R₈)(R₉), lower alkyl, phenyl or R⁷-phenyl;

R₁₃ is selected from -O-, -CH₂-, -NH-, -N(lower alkyl)- or -NC(O)R₁₉;

R15, R16 and R17 are independently selected from the group consisting of H and the groups defined for W; or R15 is hydrogen and R16 and R17, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R19 is H, lower alkyl, phenyl or phenyl lower alkyl; and

R20 and R21 are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above.

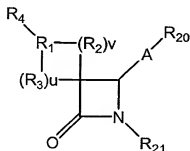
53. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 52 and a pharmaceutically acceptable carrier.

54. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 53.

55. A therapeutic combination comprising:

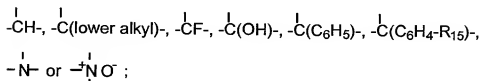
(a) a first amount of at least one of nicotinic acid or derivatives thereof; and

(b) a second amount of at least one sterol absorption inhibitor represented by Formula (VI):



or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VI) or of the isomers thereof, or prodrugs of the compounds of Formula (VI) or of the isomers, salts or solvates thereof, wherein:

R₁ is



R₂ and R₃ are independently selected from the group consisting of:

--CH₂--, --CH(lower alkyl)--, --C(di-lower alkyl)--, --CH=CH-- and --C(lower alkyl)=CH--; or

R₁ together with an adjacent R₂, or R₁ together with an adjacent R₃, form a --CH=CH-- or a --CH=C(lower alkyl)-- group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R₂ is --CH=CH-- or --C(lower alkyl)=CH--, v is 1; provided that when R₃ is --CH=CH-- or --C(lower alkyl)=CH--, u is 1; provided that when v is 2 or 3, the R₂'s can be the same or different; and provided that when u is 2 or 3, the R₃'s can be the same or different;

R₄ is selected from B-(CH₂)_mC(O)--, wherein m is 0, 1, 2, 3, 4 or 5;

B-(CH₂)_q--, wherein q is 0, 1, 2, 3, 4, 5 or 6;

B-(CH₂)_e-Z-(CH₂)_r--, wherein Z is --O--, --C(O)--, phenylene, --N(R₈)-- or --S(O)₀₋₂--, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;

B-(C₂-C₆ alkenylene)--;

B-(C₄-C₆ alkadienylene)--;

B-(CH₂)_t-Z-(C₂-C₆ alkenylene)--, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)_f-V-(CH₂)_g--, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;

B-(CH₂)_t-V-(C₂-C₆ alkenylene)-- or

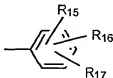
B-(C₂-C₆ alkenylene)-V-(CH₂)_t--, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)_a-Z-(CH₂)_b-V-(CH₂)_d-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or

T-(CH₂)_s-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R₁ and R₄ together form the group $\text{B}-\text{CH}=\overset{\text{I}}{\text{C}}-$;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl, R₇-benzyl, benzyloxy, R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO₂, -N(R₈)(R₉), N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkylenyloxy-, OH, halogeno, -CN, -N₃, -NHC(O)OR₁₀, -NHC(O)R₁₀, R₁₁O₂SNH-, (R₁₁O₂S)₂N-, -S(O)₂NH₂, -S(O)₂R₈, tert-butyldimethyl-silyloxymethyl, -C(O)R₁₂, -COOR₁₉, -CON(R₈)(R₉), -CH=CHC(O)R₁₂, -lower alkylene-C(O)R₁₂, R₁₀C(O)(lower alkylenyloxy)-, N(R₈)(R₉)C(O)(lower alkylenyloxy)- and -CH₂-N₂ in a ring with R₁₃ for substitution on ring carbon atoms,

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy,

-C(O)OR₁₀, -C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkylenyloxy-, -S(O)₂NH₂ and 2-(trimethylsilyl)-ethoxymethyl;

R₇ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO₂, -N(R₈)(R₉), OH, and halogeno;

R₈ and R₉ are independently selected from H or lower alkyl;
 R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;
 R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;
 R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,



, -N(R₈)(R₉), lower alkyl, phenyl or R₇-phenyl;

R₁₃ is selected from -O-, -CH₂-, -NH-, -N(lower alkyl)- or -NC(O)R₁₉;

R₁₅, R₁₆ and R₁₇ are independently selected from the group consisting of H and the groups defined for W; or R₁₅ is hydrogen and R₁₆ and R₁₇, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R₁₉ is H, lower alkyl, phenyl or phenyl lower alkyl; and

R₂₀ and R₂₁ are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above,

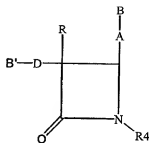
wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

56. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 55.

57. A composition comprising:

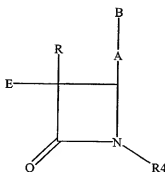
(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (VIIA) or (VIIB):



(VIIA)

or

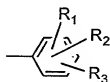


(VIIB)

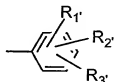
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formulae (VIIA) or (VIIB) or of the isomers thereof, or prodrugs of the compounds of Formulae (VIIA) or (VIIB) or of the isomers, salts or solvates thereof, wherein in Formulae (VIIA) and (VIIB):

A is $-\text{CH}=\text{CH}-$, $-\text{C}\equiv\text{C}-$ or $-(\text{CH}_2)_p-$ wherein p is 0, 1 or 2;

B is



B' is



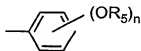
D is $-(\text{CH}_2)_m\text{C}(\text{O})-$ or $-(\text{CH}_2)_q-$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C_{10} to C_{20} alkyl or $-\text{C}(\text{O})-(\text{C}_9 \text{ to } \text{C}_{19})\text{-alkyl}$, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C₁-C₁₅ alkyl, straight or branched, saturated or containing one or more double bonds, or B-(CH₂)_r-, wherein r is 0, 1, 2, or 3;

R₁, R₂, R₃, R_{1'}, R_{2'}, and R_{3'} are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR₅, R₆O₂SNH- and -S(O)₂NH₂;

R₄ is



wherein n is 0, 1, 2 or 3;

R₅ is lower alkyl; and

R₆ is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino and dilower alkylamino.

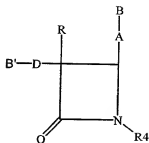
58. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 57 and a pharmaceutically acceptable carrier.

59. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 58.

60. A therapeutic combination comprising:

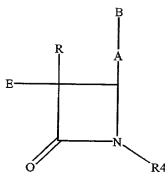
(a) a first amount of at least one of nicotinic acid or derivatives thereof; and

(b) a second amount of at least one sterol absorption inhibitor represented by Formula (VIIA) or (VIIB):



(VIIA)

or

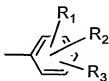


(VIIB)

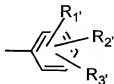
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formulae (VIIA) or (VIIB) or of the isomers thereof, or prodrugs of the compounds of Formulae (VIIA) or (VIIB) or of the isomers, salts or solvates thereof, wherein in Formulae (VIIA) and (VIIB):

A is $-\text{CH}=\text{CH}-$, $-\text{C}\equiv\text{C}-$ or $-(\text{CH}_2)_p-$ wherein p is 0, 1 or 2;

B is



B' is



D is $-(\text{CH}_2)_m\text{C}(\text{O})-$ or $-(\text{CH}_2)_q-$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C_{10} to C_{20} alkyl or $-\text{C}(\text{O})-(\text{C}_9 \text{ to } \text{C}_{19})\text{-alkyl}$, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R₁, R₂, R₃, R_{1'}, R_{2'}, and R_{3'} are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR₅, R₆O₂SNH- and -S(O)₂NH₂;

Chemical structure of a phenyl group with a substituent bond and the label $(OR_5)_n$.

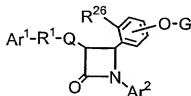
R₅ is lower alkyl; and

61. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 60.

62. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (VIII):

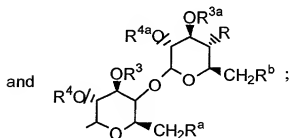
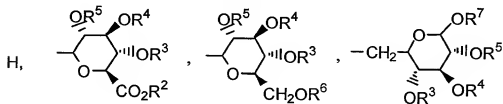


(VIII)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VII) or of the isomers thereof, or prodrugs of the compounds of Formula (VIII) or of the isomers, salts or solvates thereof, wherein, in Formula (VIII) above,

5 R^{26} is H or OG^1 ;

G and G^1 are independently selected from the group consisting of



provided that when R^{26} is H or

OH, G is not H;

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy or -W- R^{30} ;

10 W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R^{31})-, -NH-C(O)-N(R^{31})- and -O-C(S)-N(R^{31})-;

R^2 and R^6 are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

15 R^3 , R^4 , R^5 , R^7 , R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R^{30} is selected from the group consisting of R^{32} -substituted T, R^{32} -substituted-T-(C₁-C₆)alkyl, R^{32} -substituted-(C₂-C₄)alkenyl,

20 R^{32} -substituted-(C₁-C₆)alkyl, R^{32} -substituted-(C₃-C₇)cycloalkyl and R^{32} -substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is selected from the group consisting of H and (C₁-C₄)alkyl;

T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

5 R³² is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy,

-CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and

10 pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyll or morpholinyll group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyll or morpholinyll group;

Ar¹ is aryl or R¹⁰-substituted aryl;

15 Ar² is aryl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

$$\begin{array}{c} \text{R}^{12} \\ \diagup \quad \diagdown \\ \text{---} \text{C} \text{---} \text{C} \text{---} \text{R}^{13} \\ \diagdown \quad \diagup \\ \text{R}^{14} \end{array}$$
 forms the spiro group (R¹⁴)_b ; and

R¹ is selected from the group consisting of

20 -(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-E-(CH₂)_r-, wherein E is -O-, -C(O)-, phenylene, -NR²²- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆)alkenylene-; and

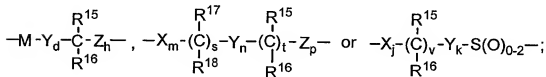
25 -(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R¹² is

$$\begin{array}{c} | \\ \text{---CH---} \end{array}, \begin{array}{c} | \\ \text{---C(C}_1\text{-C}_6\text{ alkyl)---} \end{array}, \begin{array}{c} | \\ \text{---CF---} \end{array}, \begin{array}{c} | \\ \text{---C(OH)---} \end{array}, \begin{array}{c} | \\ \text{---C(C}_6\text{H}_4\text{-R}^{23}\text{)---} \end{array}, \begin{array}{c} | \\ \text{---N---} \end{array}, \text{ or } \begin{array}{c} | \\ \text{---NO---} \end{array};$$

R^{13} and R^{14} are independently selected from the group consisting of $-CH_2-$, $-CH(C_1-C_6 \text{ alkyl})-$, $-C(\text{di-}(C_1-C_6) \text{ alkyl})-$, $-CH=CH-$ and $-C(C_1-C_6 \text{ alkyl})=CH-$; or R^{12} together with an adjacent R^{13} , or R^{12} together with an adjacent R^{14} , form a $-CH=CH-$ or a $-CH=C(C_1-C_6 \text{ alkyl})-$ group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;
 provided that when R^{13} is $-CH=CH-$ or $-C(C_1-C_6 \text{ alkyl})=CH-$, a is 1;
 provided that when R^{14} is $-CH=CH-$ or $-C(C_1-C_6 \text{ alkyl})=CH-$, b is 1;
 provided that when a is 2 or 3, the R^{13} 's can be the same or different; and
 provided that when b is 2 or 3, the R^{14} 's can be the same or different;
 and when Q is a bond, R^1 also can be:



M is $-O-$, $-S-$, $-S(O)-$ or $-S(O)_2-$;

X, Y and Z are independently selected from the group consisting of $-CH_2-$, $-CH(C_1-C_6 \text{ alkyl})-$ and $-C(\text{di-}(C_1-C_6) \text{ alkyl})-$;

R^{10} and R^{11} are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of $(C_1-C_6) \text{ alkyl}$, $-OR^{19}$, $-O(CO)R^{19}$, $-O(CO)OR^{21}$, $-O(CH_2)_{1-5}OR^{19}$, $-O(CO)NR^{19}R^{20}$, $-NR^{19}R^{20}$, $-NR^{19}(CO)R^{20}$, $-NR^{19}(CO)OR^{21}$, $-NR^{19}(CO)NR^{20}R^{25}$, $-NR^{19}SO_2R^{21}$, $-COOR^{19}$, $-CONR^{19}R^{20}$, $-COR^{19}$, $-SO_2NR^{19}R^{20}$, $S(O)_{0-2}R^{21}$, $-O(CH_2)_{1-10}-COOR^{19}$, $-O(CH_2)_{1-10}CONR^{19}R^{20}$, $-(C_1-C_6 \text{ alkylene})-COOR^{19}$, $-CH=CH-COOR^{19}$, $-CF_3$, $-CN$, $-NO_2$ and halogen;

R^{15} and R^{17} are independently selected from the group consisting of $-OR^{19}$, $-O(CO)R^{19}$, $-O(CO)OR^{21}$ and $-O(CO)NR^{19}R^{20}$;

R^{16} and R^{18} are independently selected from the group consisting of H, $(C_1-C_6) \text{ alkyl}$ and aryl; or R^{15} and R^{16} together are $=O$, or R^{17} and R^{18} together are $=O$;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

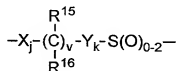
provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided

5 that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;



and when Q is a bond and R¹ is Ar^1 can also be
pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl,
pyrimidinyl or pyridazinyl;

10 R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

15 R²³ and R²⁴ are independently 1-3 groups independently selected from the
group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂,

-NR¹⁹R²⁰, -OH and halogeno; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy.

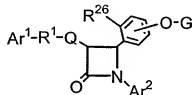
20 63. A pharmaceutical composition for the treatment or prevention of a
vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma
of a mammal, comprising a therapeutically effective amount of the composition of
claim 62 and a pharmaceutically acceptable carrier.

25 64. A method of treating or preventing a vascular condition, diabetes,
obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the
step of administering to a mammal in need of such treatment an effective amount of
the composition of claim 63.

30 65. A therapeutic combination comprising:

(a) a first amount of at least one of nicotinic acid or derivatives thereof; and

(b) a second amount of at least one sterol absorption inhibitor represented by Formula (VIII):

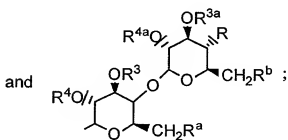
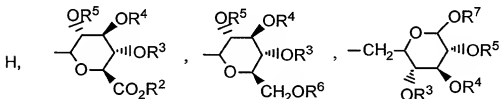


(VIII)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VIII) or of the isomers thereof, or prodrugs of the compounds of Formula (VIII) or of the isomers, salts or solvates thereof, wherein, in Formula (VIII) above,

R²⁶ is H or OG¹;

G and G¹ are independently selected from the group consisting of



provided that when R²⁶ is H or

OH, G is not H;

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;


R³¹ is selected from the group consisting of H and (C₁-C₄)alkyl;

R³² is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolyl or morpholyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, methylpiperazinyl, indolyl or morpholyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

Ar² is aryl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

forms the spiro group $(R^{14})_b$ ; and

R¹ is selected from the group consisting of

$-(CH_2)_q-$, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-E-(CH₂)_r-, wherein E is -O-, -C(O)-, phenylene, -

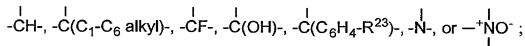
NR²²- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆)alkenylene-; and

-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-

5 5, provided that the sum of f and g is 1-6;

R¹² is



R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-, -

CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl)-, -CH=CH- and

10 -C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

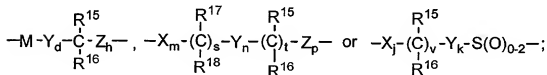
provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1;

provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1;

15 provided that when a is 2 or 3, the R¹³'s can be the same or different; and

provided that when b is 2 or 3, the R¹⁴'s can be the same or different;

and when Q is a bond, R¹ also can be:



M is -O-, -S-, -S(O)- or -S(O)₂-;

20 X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆)alkyl- and -C(di-(C₁-C₆)alkyl);

R¹⁰ and R¹¹ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of

(C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹,

25 -O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹,

-NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹,

-SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹,

-O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹,
-CF₃, -CN, -NO₂ and halogen;

R¹⁵ and R¹⁷ are independently selected from the group consisting of
-OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹ and -O(CO)NR¹⁹R²⁰;

R¹⁶ and R¹⁸ are independently selected from the group consisting of H,
(C₁-C₆)alkyl and aryl; or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are
=O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided
that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

and when Q is a bond and R¹ is
$$\begin{array}{c} \text{R}^{15} \\ | \\ -\text{X}_j-(\text{C})_v-\text{Y}_k-\text{S}(\text{O})_{0-2}- \\ | \\ \text{R}^{16} \end{array}$$
, Ar¹ can also be
pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl,
pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-
C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are independently 1-3 groups independently selected from the
group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂,

-NR¹⁹R²⁰, -OH and halogeno; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy,

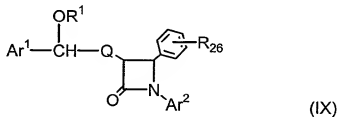
wherein the first amount and the second amount together comprise a therapeutically
effective amount for the treatment or prevention of a vascular condition, diabetes,
obesity or lowering a concentration of a sterol in plasma of a mammal.

66. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 65.

67. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (IX):

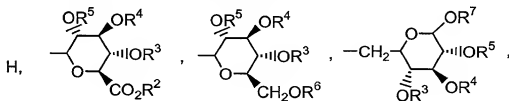


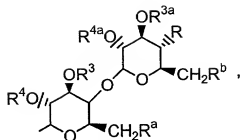
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IX) or of the isomers thereof, or prodrugs of the compounds of Formula (IX) or of the isomers, salts or solvates thereof, wherein in Formula (IX):

R²⁶ is selected from the group consisting of:

- a) OH;
- b) OCH₃;
- c) fluorine and
- d) chlorine.

R¹ is selected from the group consisting of





-SO₃H; natural and unnatural
amino acids.

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C1-C6)alkoxy(C1-C6)-alkoxy and -W-R³⁰;

W is independently selected from the group consisting of
-NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are independently selected from the group consisting of H,
(C1-C6)alkyl, aryl and aryl(C1-C6)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group
consisting of H, (C1-C6)alkyl, aryl(C1-C6)alkyl, -C(O)(C1-C6)alkyl and -C(O)aryl;

R³⁰ is independently selected from the group consisting of R³²-substituted T,
R³²-substituted-T-(C1-C6)alkyl, R³²-substituted-(C2-C4)alkenyl, R³²-substituted-
(C1-C6)alkyl, R³²-substituted-(C3-C7)cycloalkyl and R³²-substituted-(C3-
C7)cycloalkyl(C1-C6)alkyl;

R³¹ is independently selected from the group consisting of H and (C1-C4)alkyl;

T is independently selected from the group consisting of phenyl, furyl, thienyl,
pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl,
pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected
from the group consisting of H, halogeno, (C1-C4)alkyl, -OH, phenoxy, -CF₃, -NO₂,
(C1-C4)alkoxy, methylenedioxy, oxo, (C1-C4)alkylsulfanyl, (C1-C4)alkylsulfinyl, (C1-
C4)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C1-C4)alkyl, -C(O)-N((C1-C4)alkyl)₂, -C(O)-
(C1-C4)alkyl, -C(O)-(C1-C4)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent
bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl,
piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a
(C1-C4)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl,
indolinyl or morpholinyl group;

R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkoxy, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halogeno; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy.

68. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 67 and a pharmaceutically acceptable carrier.

69. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 68.

70. A composition comprising: (a) at least one of nicotinic acid or derivatives thereof; and (b) at least one substituted azetidinone compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted azetidinone compound or of the isomers, salts or solvates thereof.

71. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 70 and a pharmaceutically acceptable carrier.

72. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the

step of administering to a mammal in need of such treatment an effective amount of the composition of claim 70.

73. A therapeutic combination comprising:

- (a) a first amount of at least one of nicotinic acid or derivatives thereof; and
- (b) a second amount of at least one substituted azetidinone compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted azetidinone compound or of the isomers, salts or solvates thereof,

wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

74. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the therapeutic combination of claim 73 and a pharmaceutically acceptable carrier.

75. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 73.

76. A composition comprising: (a) at least one of nicotinic acid or derivatives thereof; and (b) at least one substituted β -lactam compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted β -lactam compound or of the isomers, salts or solvates thereof.

77. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 76 and a pharmaceutically acceptable carrier.

78. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 77.

79. A therapeutic combination comprising:

(a) a first amount of at least one of nicotinic acid or derivatives thereof; and

(c) a second amount of at least one substituted β -lactam compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted β -lactam compound or of the isomers, salts or solvates thereof,

wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

80. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the therapeutic combination of claim 79 and a pharmaceutically acceptable carrier.

81. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 80.